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(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,  
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patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European  
patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,  
IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF,  
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Published:

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*For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.*

(54) Title: PHARMACEUTICALLY ACTIVE PIPERIDINE DERIVATIVES, IN PARTICULAR AS MODULATORS OF  
CHEMOKINE RECEPTOR ACTIVITY

(57) Abstract: Compounds of formula (I), compositions comprising them, processes for preparing them and their use in medical  
therapy (for example modulating CCR5 receptor activity in a warm blooded animal).

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# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/SE 01/01053

## A. CLASSIFICATION OF SUBJECT MATTER

C07D 211/58, C07D 401/06, C07D 401/12, C07D 405/06, C07D 405/12, C07D 409/12, , C07D 411/12, C07D  
IPC7: 413/12, C07D 413/14, A61K 31/4468, A61K 31/4523, A61P 1/00, A61P 11/00, A61P 17/00, A61P 19/00  
According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC7: C07D, A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

SE,DK,FI,NO classes as above

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	EP 1013276 A1 (PFIZER LIMITED), 28 June 2000 (28.06.00) ---	1-16
P,X	WO 0114333 A1 (ASTRAZENECA UK LIMITED), 1 March 2001 (01.03.01) --	1-16
P,X	WO 0076973 A1 (MERCK & CO. INC.), 21 December 2000 (21.12.00) --	1-16
P,X	WO 0076513 A1 (MERCK & CO. INC.), 21 December 2000 (21.12.00) --	1-16



Further documents are listed in the continuation of Box C.



See patent family annex.

- \* Special categories of cited documents:
- "A" document defining the general state of the art which is not considered to be of particular relevance
  - "E" earlier application or patent but published on or after the international filing date
  - "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
  - "O" document referring to an oral disclosure, use, exhibition or other means
  - "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

14 Sept 2001

Date of mailing of the international search report

19 -09- 2001

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## INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 01/01053

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 9925686 A1 (TEIJIN LIMITED), 27 May 1999 (27.05.99) --	1-16
X	WO 9904794 A1 (MERCK & CO. INC.), 4 February 1999 (04.02.99) --	1-16
X	EP 0643057 A1 (BRISTOL-MYERS SQUIBB COMPANY), 15 March 1995 (15.03.95), see examples --	1-7,9-16
X	WO 9964394 A1 (SCHERING CORPORATION), 16 December 1999 (16.12.99), see e.g. table 2, pages 23-24 and examples 12, pages 37-38 --	1-9,11-16
X	EP 0625507 A2 (NISSHIN FLOUR MILLING CO. LTD.), 23 November 1994 (23.11.94), see examples --	1-7,11-16
X	EP 0457686 A1 (ADIR ET COMPAGNIE), 21 November 1991 (21.11.91), see e.g. table 1, pages 38-50 --	1-8,11-16
X	EP 0445862 A2 (JANSSEN PHARMACEUTICA N.V.), 11 Sept 1991 (11.09.91), see e.g. tables 2 and 3, pages 27-35 --	1-7,11-16
X	EP 0354568 A2 (JAPAN TOBACCO INC.), 14 February 1990 (14.02.90), see claim 2 --	1-7,10-16
X	GB 1425354 A (JOHN WYETH & BROTHER LIMITED), 18 February 1976 (18.02.76), see examples --	1-8,11-16

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 01/01053

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	STN International, file CAPLUS, CAPLUS accession no. 1990:558675, document no. 113:158675, Yoshitomi Pharmaceutical industries, Ltd: "Dihydroxycinnamic acid amide derivatives and their pharmaceutical compositions for enhancement of nerve growth factor (NGF) production & JP,A2,02104568,19900417 --	1-7,10-16
X	STN International, file CAPLUS, CAPLUS accession no. 1978:22640, document no. 88:22640, Yoshitomi Pharmaceutical Industries, LTD: "Urea and thiourea derivatives"& JP,A2,52085174,19770715 --	1-7,11-16
P,X	J. Med. Chem., Volume 44, 2001, Akira Naya et al, "Design, Synthesis, and Discovery of a Novel CCRI Antagonist" page 1429 - page 1435 --	1-16
X	Synthesis, Volume, May 1997, R. Michael Lawrence et al, "Automated Synthesis and Purification of Amides: Exploitation of Automated Solid Phase Extraction in Organic Synthesis", page 553 - page 558, see table 1, page 554 --	1-7,10
X	J. Comb. Chem., Volume 2, 2000, Warren S. Wade et al, "Application of Base Cleavable Safety Catch Linkers to Solid Phase Library Production", page 266 - page 275, see page 269, scheme 3 and table 2, compounds 32 a-m --	1-8
X	J. Med. Chem., Volume 23, 1980, J.L. Archibald et al, "Antihypertensive Ureidopiperidines", page 857 - page 861, see particularly tables I and II --	1-8,11-16

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 01/01053

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>Journal of Neuroimmunology, Volume 47, 1993, George B. Stefano et al, "Human neutrophil and macrophage chemokinesis induced by cardiopulmonary bypass: Loss of DAME and IL-1 chemotaxis", page 189 - page 198, see compound named fentanyl</p> <p>-- -----</p>	1-7,10-16

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/SE01/01053

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 15  
because they relate to subject matter not required to be searched by this Authority, namely:  
see next sheet\*
2. ☒ Claims Nos.: 1-16  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:  
see next sheet\*\*
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.  
☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

International application No.

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\*

Claim 15 relates to a method of treatment of the human or animal body by surgery or by therapy/a diagnostic method practised on the human or animal body/Rule 39.1(iv). Nevertheless, a search has been executed for this claim. The search has been based on the alleged effects of the compounds/compositions.

\*\*

Present claims 1-16 relate to an extremely large number of possible compounds. In fact, the claims contains so many options, variables and possible permutations that a lack of clarity and conciseness within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible.

Consequently, the search has mainly been carried out for those parts of the application which appears to be clear and concise, namely the exemplified compounds of Tables I, II, III and IV and closely related homologous compounds.

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established will not be the subject of an international preliminary examination (Rule 66.1 (e) PCT). This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

## INTERNATIONAL SEARCH REPORT

Information on patent family members

02/08/01

International application No.

PCT/SE 01/01053

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Information on patent family members

02/08/01

International application No.

PCT/SE 01/01053

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## INTERNATIONAL SEARCH REPORT

Information on patent family members

02/08/01

International application No.

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Information on patent family members

02/08/01

International application No.

PCT/SE 01/01053

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